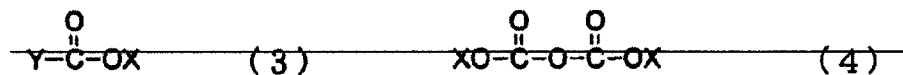
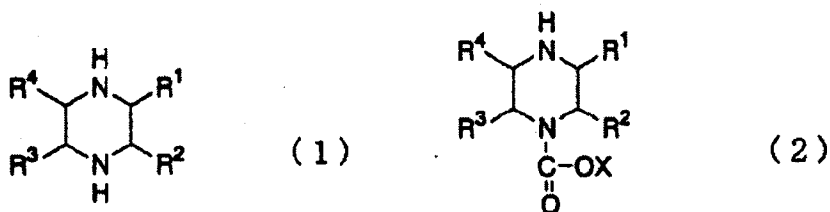


In the Claims

1. (Currently Amended) A process for producing an oxycarbonyl-substituted piperazine derivative, in which a piperazine derivative represented by formula (1) is oxycarbonylated in the presence of a reagent ~~represented by formula (3) or formula (4)~~ comprising benzyl chlorocarbonate or di-tert-butyl dicarbonate



(where X denotes i) an alkyl group with 1 to 4 carbon atoms, ii) an alkenyl group with 2 to 4 carbon atoms, iii) an alkynyl group with 2 to 4 carbon atoms, iv) an aralkyl group not substituted in the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group, or v) an aryl group not substituted in the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group and Y denotes a chlorine atom) and an organic alcohol solvent with a water content of 15 wt% or less to produce an oxycarbonyl-substituted piperazine derivative represented by formula (2)



(where R¹, R², R³ and R⁴ denote, respectively independently, i) a hydrogen atom, ii) an alkyl group with 1 to 4 carbon atoms, iii) an alkoxy group with 1 to 4 carbon atoms, iv) a halogen group, v) a carboxyl group wherein the R¹ in the formula (1) and the formula (2) denotes a methyl group, and R², R³ and R⁴ denote a hydrogen atom respectively; X denotes i) ~~an alkyl group with 1 to 4 carbon~~

atoms, ii) an alkenyl group with 2 to 4 carbon atoms, iii) an alkynyl group with 2 to 4 carbon atoms, iv) an aralkyl group not substituted in the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group, or v) an aryl group not substituted in the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group a tert-butyl group or benzyl group; excluding the case where all of R¹, R², R³ and R⁴ denote a hydrogen atom respectively) and the compounds represented by the formula (1) and the formula (2) are optically active substances.

2. (Cancelled)

3. (Cancelled)

4. (Cancelled)

5. (Cancelled)

6. (Cancelled)

7. (Cancelled)

8. (Previously Presented) The process according to claim 1, further comprising adding at least one compound selected from the group consisting of pyridine, α -picoline, β -picoline, γ -picoline, 2-ethylpyridine, 3-ethylpyridine, 4-ethylpyridine, 2-n-propylpyridine, 3-n-propylpyridine, 4-n-propylpyridine, 2-isopropylpyridine, 2-phenylpyridine, 2-vinylpyridine, 3-aminopyridine, 2-hydroxypyridine, 2-methoxypyridine, 2-chloropyridine, 3-fluoropyridine, 4-bromopyridine, 3-iodopyridine, 2-formylpyridine, 3-acetylpyridine, 2-pyridinecarboxylic acid, methyl 3-pyridinecarboxylate, 3-pyridinecarboxylic acid amide, 2-cyanopyridine, 3-nitropyridine, pyrrole, indole, pyrazole, isoxazole, isothiazole, indazole, imidazole, oxazole, thiazole, benzimidazole,

quinoline, isoquinoline, pyridazine, pyrimidine, pyrazine, quinoxaline, carbazole, α -aminonaphthalene, β -aminonaphthalene, aniline, 2,6-lutidine and trimethylamine to oxycarbonylate the piperazine derivative represented by the formula (1).

9. (Previously Presented) The process according to claim 8, wherein the pKa of the compound is 7 or less.

10. (Previously Presented) The process according to claim 9, wherein the compound is a pyridine compound.

11. (Previously Presented) The process according to claim 1, wherein the piperazine derivative represented by formula (I) is a diastereomer salt of an optically active piperazine derivative and an optically active resolving agent, obtained by optical resolution using the optically active resolving agent, or the optically active piperazine derivative obtained by decomposing the salts.

12. (Previously Presented) The process according to claim 11, wherein the optically active piperazine derivative obtained by optical resolution with a solvent which is 0.5 to 4.0 times as heavy as a racemic piperazine derivative in the presence of a lower carboxylic acid or mineral acid is used as the raw material.

13. (Previously Presented) The process according to claim 11 or 12, wherein the optically active resolving agent is optically active tartaric acid.

14. (Previously Presented) The process according to claim 12, wherein the lower carboxylic acid or mineral acid is at least one selected from acetic acid, propionic acid, hydrochloric acid and sulfuric acid.

15. (Previously Presented) The process according to claim 12, wherein the solvent used for performing optical resolution is water or a hydrous alcohol.

16. (Previously Presented) The process according to claim 11, further comprising decomposing the diastereomer salts obtained by optical resolution from an optically active water soluble piperazine derivative and optically active tartaric acid with a salt of an alkaline earth metal is used in a solvent containing 50 wt% or more of water.

17. (Previously Presented) The process according to claim 16, wherein the salt of an alkaline earth metal is any one of hydroxides, halides, sulfates and carbonates.

18. (Previously Presented) The process according to claim 17, wherein the hydroxide of an alkaline earth metal is any one of magnesium hydroxide, calcium hydroxide, strontium hydroxide and barium hydroxide.

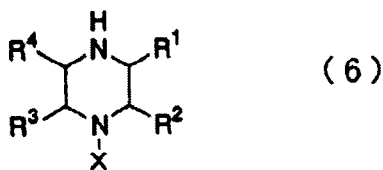
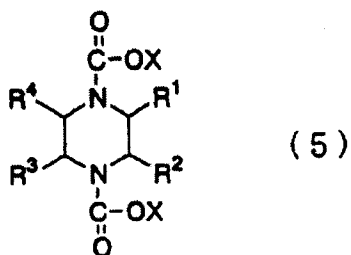
19. (Previously Presented) The process according to claim 1, wherein the oxycarbonyl-substituted piperazine derivative is refined by

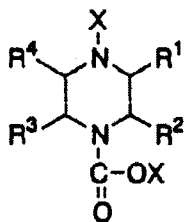
(1) a step of washing using an organic solvent whose mutual solubility with water at 20°C is 10 wt% or less in a water solvent whose pH is 3 or less, and/or

(2) a distillation step.

20. (Previously Presented) The process according to claim 19, wherein the organic solvent whose mutual solubility with water at 20°C is 10 wt% or less is an aromatic hydrocarbon.

21. (Previously Presented) An oxycarbonyl-substituted piperazine derivative composition, wherein the total of the contents of the impurities represented by the following formulae (5) to (8):



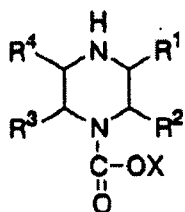


(7)

XOH

(8)

(where R^1 , R^2 , R^3 and R^4 denote, respectively independently, i) a hydrogen atom, ii) an alkyl group with 1 to 4 carbon atoms, iii) an alkoxy group with 1 to 4 carbon atoms, iv) a halogen group, v) a carboxyl group; X denotes i) an alkyl group with 1 to 4 carbon atoms, ii) an alkenyl group with 2 to 4 carbon atoms, iii) an alkynyl group with 2 to 4 carbon atoms, iv) an aralkyl group not substituted in the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group, or v) an aryl group not substituted in the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group; excluding the case where all of R^1 , R^2 , R^3 and R^4 denote a hydrogen atom respectively) contained in a composition containing the oxycarbonyl-substituted piperazine derivative represented by formula (2):



(2)

(where R^1 , R^2 , R^3 and R^4 denote, respectively independently, i) a hydrogen atom, ii) an alkyl group with 1 to 4 carbon atoms, iii) an alkoxy group with 1 to 4 carbon atoms, iv) a halogen group, v) a carboxyl group; X denotes i) an alkyl group with 1 to 4 carbon atoms, ii) an alkenyl group with 2 to 4 carbon atoms, iii) an alkynyl group with 2 to 4 carbon atoms, iv) an aralkyl group not substituted in

the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group, or v) an aryl group not substituted in the aromatic ring, or substituted by an alkyl group with 1 to 4 carbon atoms or by an alkoxy group with 1 to 4 carbon atoms or by a halogen group; excluding the case where all of R^1 , R^2 , R^3 and R^4 denote a hydrogen atom respectively) and the piperazine derivatives represented by formula (2) are optically active substances, is 2% by HPLC area or less based on the total of the content of the oxycarbonyl-substituted piperazine derivative represented by the formula (2) and the contents of the impurities.

22. (Previously Presented) The composition, according to claim 21, wherein every R^1 in the formulae (2) and (5) to (8) denotes a methyl group and every R^2 to R^4 denote a hydrogen atom respectively.

23. (Previously Presented) The composition, according to claim 21, wherein X in the formulae (2) and (5) to (8) denotes any one of a tert-butyl group, phenyl group and benzyl group.

24. (Previously Presented) The composition, according to claim 21, wherein the piperazine derivative represented by the formula (2) in which the carbon atom having R^1 attached is an asymmetric carbon atom.